

## INTERNATIONAL SEARCH REPORT

National Application No

I/GB2004/003184

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D239/48 C07D417/12 C07D401/12 C07D239/46 C07D233/88  
 C07D409/04 C07D263/48 C07D413/10 C07D249/14 A61K31/505  
 A61K31/506 A61K31/4168 A61K31/4178 A61K31/421 A61K31/4439

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K A61P C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, BEILSTEIN Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>WATANABE H ET AL: "Design of new antidepressant, 4-anilinopyrimidine" CHEMICAL AND PHARMACEUTICAL BULLETIN, vol. 35, no. 4, 1987, pages 1452-1459, XP001019216            the whole document, particularly compounds 64 and 66</p> <p style="text-align: center;">-----            -/---</p>	<p>1-6,            11-16,            20-26</p>



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- \*Z\* document member of the same patent family

Date of the actual completion of the international search

30 September 2004

Date of mailing of the international search report

21/10/2004

Name and mailing address of the ISA

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>RAHMAN A A ET AL:  "Conformationally-restricted analogues and partition coefficients of the 5-HT<sub>3</sub> serotonin receptor ligands meta-chlorophenylbiguanide (mCPBG) and meta-chlorophenylguanidine (mCPG)"  BIOORGANIC AND MEDICINAL CHEMISTRY LETTERS,  vol. 13, no. 6,  24 March 2003 (2003-03-24), pages 1119-1123, XP002298657  the whole document, particularly compound 8</p>	<p>1-4,6,  10-14,  16,  20-24,26</p>
X	<p>WO 02/092576 A (BOEHRINGER INGELHEIM PHARMACEUTICALS, INC.)  21 November 2002 (2002-11-21)  the whole document, particularly claims 1-4 and 7-9</p>	<p>1-5,7,8,  10-15,  17,18,  20-25,27</p>
X	<p>TIDWELL R R ET AL: "Diarylamidine derivatives with one or both of the aryl moieties consisting of an indole or indole-like ring. Inhibitors of arginine-specific esterproteases"  JOURNAL OF MEDICINAL CHEMISTRY,  vol. 21, no. 7, 1978, pages 613-623, XP000573913  the whole document, particularly page 616, compound 16</p>	<p>1-7,  9-17,  19-26,28</p>
X	<p>US 2 585 910 A (BARTON N ET AL)  19 February 1952 (1952-02-19)  the whole document</p>	<p>11-17,  20-26</p>
X	<p>US 2 643 253 A (SWINDEN F H ET AL)  23 June 1953 (1953-06-23)  the whole document</p>	<p>11-17,  20-26</p>
X	<p>VULLO D ET AL: "Carbonic anhydrase inhibitors: inhibition of the tumor-associated isozyme IX with aromatic and heterocyclic sulfonamides"  BIOORGANIC AND MEDICINAL CHEMISTRY LETTERS,  vol. 13, no. 6,  24 March 2003 (2003-03-24), pages 1005-1009, XP001164144  the whole document, particularly page 1007, compound 20</p>	<p>11-14,  16,  20-24,26</p>
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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	BANKS C K: "Arylaminoheterocycles. II. Arylaminothymidines" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 66, no. 7, July 1944 (1944-07), page 1131, XP002298658 the whole document	11-28
X	ALI A ET AL: "Design and synthesis of novel antibacterial agents with inhibitory activity against DNA polymerase III" BIOORGANIC AND MEDICINAL CHEMISTRY LETTERS, vol. 11, no. 16, 20 August 2001 (2001-08-20), pages 2185-2188, XP002298659 the whole document, particularly page 2187, table 2, compound 16	11-16, 20-26
X	GHONEIM K M ET AL: "Synthesis and evaluation of some 2-, 4- and 2,4-di-substituted-6-methylpyrimidine derivatives for antimicrobial activity" JOURNAL OF THE INDIAN CHEMICAL SOCIETY, vol. 63, no. 10, October 1986 (1986-10), pages 914-917, XP000985005 the whole document, particularly compounds 6	11-16, 20-26
X	US 3 464 987 A (URSPRUNG J J ET AL) 2 September 1969 (1969-09-02) the whole document, particularly column 5, compounds IVA, and example 1, part A	21-25
P,X	WO 03/068226 A (PHARMAGENE LABORATORIES LIMITED) 21 August 2003 (2003-08-21) the whole document	52-69
P,X	WO 2004/009017 A (BRISTOL-MYERS SQUIBB COMPANY) 29 January 2004 (2004-01-29) pages 73, 74, preparation 2, and pages 79, 80, preparation 7	45-51
A	WO 97/44326 A (F. HOFFMANN-LA ROCHE AG) 27 November 1997 (1997-11-27) cited in the application the whole document	1,29,52, 71

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international application No.  
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## Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
Although claims 11-19, 37-43, 61 and 79 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 02092576	A	21-11-2002	CA 2445003 A1 EP 1392661 A1 WO 02092576 A1 US 2003008868 A1	21-11-2002 03-03-2004 21-11-2002 09-01-2003
US 2585910	A	19-02-1952	GB 658204 A BE 492033 A DE 830511 C FR 1013709 A	03-10-1951  04-02-1952 04-08-1952
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US 3464987	A	02-09-1969	BE 694389 A CH 495362 A CH 494764 A DE 1795815 B1 DE 1695929 A1 ES 337096 A1 FR 6503 M FR 1513739 A IL 27364 A NL 6702626 A ,B US 3639667 A	21-08-1967 31-08-1970 15-08-1970 24-11-1977 13-05-1971 16-01-1968 02-12-1968 16-02-1968 25-08-1971 22-08-1967 01-02-1972
WO 03068226	A	21-08-2003	WO 03068226 A1 US 2004010022 A1	21-08-2003 15-01-2004
WO 2004009017	A	29-01-2004	WO 2004009017 A2 US 2004132758 A1	29-01-2004 08-07-2004
WO 9744326	A	27-11-1997	AU 725891 B2 AU 2897897 A BR 9709599 A CA 2255705 A1 CN 1223641 A ,B CZ 9803803 A3 WO 9744326 A1 EP 0901474 A1 HK 1020345 A1 HR 970275 A1 HU 9901535 A2 ID 16969 A IL 127056 A JP 2001525794 T NO 985392 A NZ 332802 A PL 330069 A1 RU 2189976 C2 TR 9802391 T2 TW 440563 B US 5863924 A US 5952331 A US 5958934 A ZA 9704281 A	26-10-2000 09-12-1997 10-08-1999 27-11-1997 21-07-1999 14-04-1999 27-11-1997 17-03-1999 29-08-2003 30-04-1998 28-09-1999 27-11-1997 23-11-2003 11-12-2001 25-01-1999 28-07-2000 26-04-1999 27-09-2002 22-02-1999 16-06-2001 26-01-1999 14-09-1999 28-09-1999 24-11-1997